```
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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  JAN 02  STN pricing information for 2008 now available
 NEWS  3  JAN 16  CAS patent coverage enhanced to include exemplified
                  prophetic substances
 NEWS  4  JAN 28  USPATFULL, USPAT2, and USPATOLD enhanced with new
                  custom IPC display formats
 NEWS  5  JAN 28  MARPAT searching enhanced
 NEWS  6  JAN 28  USGENE now provides USPTO sequence data within 3 days
                  of publication
 NEWS  7  JAN 28  TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS  8  JAN 28  MEDLINE and LMEDLINE reloaded with enhancements
 NEWS  9  FEB 08  STN Express, Version 8.3, now available
 NEWS 10  FEB 20  PCI now available as a replacement to DPCI
 NEWS 11  FEB 25  IFIREF reloaded with enhancements
 NEWS 12  FEB 25  IMSPRODUCT reloaded with enhancements
 NEWS 13  FEB 29  WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                  U.S. National Patent Classification
 NEWS 14  MAR 31  IFICDB, IFIPAT, and IFIUDB enhanced with new custom
                  IPC display formats
 NEWS 15  MAR 31  CAS REGISTRY enhanced with additional experimental
                  spectra
 NEWS 16  MAR 31  CA/CAplus and CASREACT patent number format for U.S.
                  applications updated
 NEWS 17  MAR 31  LPCI now available as a replacement to LDPCI
 NEWS 18  MAR 31  EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 19  APR 04  STN AnaVist, Version 1, to be discontinued
 NEWS 20  APR 15  WPIDS, WPINDEX, and WPIX enhanced with new
                  predefined hit display formats

 NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:28:58 ON 15 APR 2008

=> b reg
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 08:29:24 ON 15 APR 2008
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 14 APR 2008 HIGHEST RN 1014671-54-5
DICTIONARY FILE UPDATES: 14 APR 2008 HIGHEST RN 1014671-54-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when
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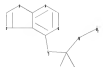
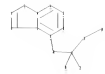
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\jlau1\My Documents\10550864 - bioreduction prodrug\generic species.str





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ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
9-10  10-11  11-12  11-13  11-16  14-16
ring bonds :
1-2  1-5  2-3  3-4  4-5  4-6  5-9  6-7  7-8  8-9
exact/norm bonds :
1-2  1-5  2-3  3-4  9-10  10-11  11-16  14-16
exact bonds :
11-12  11-13
normalized bonds :
4-5  4-6  5-9  6-7  7-8  8-9


G1:O,S,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  13:CLASS  14:CLASS  16:Atom
Generic attributes :
16:
Saturation              : Unsaturated
Type of Ring System     : Monocyclic
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L1 STRUCTURE UPLOADED

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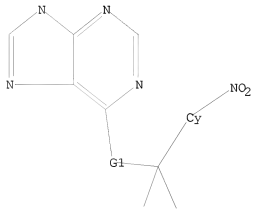
L1 HAS NO ANSWERS
L1 STR




G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 08:29:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 69 TO ITERATE

100.0% PROCESSED 69 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 882 TO 1878
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 08:29:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1478 TO ITERATE

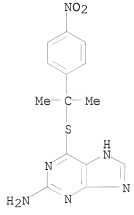
100.0% PROCESSED 1478 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> d l3 1-3

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN
RN 948856-26-6 REGISTRY

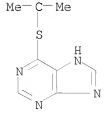
ED  Entered STN:  30 Sep 2007
CN  9H-Purin-2-amine, 6-[[1-methyl-1-(4-nitrophenyl)ethyl]thio]-  (CA INDEX NAME)
MF  C14 H14 N6 O2 S
SR  CA
LC  STN Files:  CA, CAPLUS, CASREACT, TOXCENTER



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

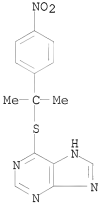
L3  ANSWER 2 OF 3  REGISTRY  COPYRIGHT 2008 ACS on STN
RN  770746-94-6  REGISTRY
ED  Entered STN:  28 Oct 2004
CN  1H-Purine, 6-[[1-methyl-1-(5-nitro-2-thienyl)ethyl]thio]- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN  6-[2-(5-Nitrothien-2-yl)propan-2-ylsulfanyl]-9H-purine
MF  C12 H11 N5 O2 S2
SR  CA
LC  STN Files:  CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2008 ACS on STN
RN 770746-91-3 REGISTRY
ED Entered STN: 28 Oct 2004
CN 9H-Purine, 6-[[1-methyl-1-(4-nitrophenyl)ethyl]thio]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Purine, 6-[[1-methyl-1-(4-nitrophenyl)ethyl]thio]- (9CI)
OTHER NAMES:
CN 6-[2-(4-Nitrophenyl)propan-2-ylsulfanyl]-9H-purine
MF C14 H13 N5 O2 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> b caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 184.82 TOTAL SESSION 185.03
FULL ESTIMATED COST

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FILE LAST UPDATED: 14 Apr 2008 (20080414/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 2 L3

=> d l4 scan

L4 2 ANSWERS CAPLUS COPYRIGHT 2008 ACS on STN
IC ICM C07D333-36
ICS C07D417-04; C07D233-54; A61K031-445
CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28, 33, 63
TI Preparation of bioreductively activated prodrugs of antiproliferative agents
ST thiophene propoxy prodrug prepn bioreductive activation antiproliferative agent
IT Antibiotics
(anthracycline; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)
IT Cytotoxic agents
(antimetabolites, cytostatic agent; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)
IT Eye, disease
(diabetic retinopathy; preparation of bioreductively activated prodrugs of antiproliferative agents)
IT Macrolides
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epothilones; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)
IT Mitosis
(inhibitor; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)
IT Eye, disease
(macula, senile degeneration, treatment of wet; preparation of bioreductively activated prodrugs of antiproliferative agents)
IT Antirheumatic agents
Antitumor agents
Cytotoxic agents
Human
Hypoxia
Leukemia
Neoplasm
Psoriasis
Rheumatoid arthritis
(preparation of bioreductively activated prodrugs of antiproliferative agents)
IT Drug delivery systems
(prodrugs; preparation of bioreductively activated prodrugs of antiproliferative agents)
IT Disease, animal

(proliferative; preparation of bioreductively activated prodrugs of antiproliferative agents)

IT Neoplasm
(solid; preparation of bioreductively activated prodrugs of antiproliferative agents)

IT 62989-33-7, (6R)-5,6,7,8-Tetrahydrobiopterin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antagonist of; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)

IT 770746-88-8P, 1-[4-Methoxy-3-[[2-(5-nitrothiophen-2-yl)propan-2-yl]oxy]phenyl]-2-(3,4,5-trimethoxyphenyl)-(Z)-ethene 770746-89-9P, 1-[4-Methoxy-3-[[2-(4-nitrophenyl)propan-2-yl]oxy]phenyl]-2-(3,4,5-trimethoxyphenyl)-(Z)-ethene 770746-90-2P 770746-91-3P, 6-[2-(4-Nitrophenyl)propan-2-ylsulfanyl]-9H-purine 770746-92-4P, 1-[4-Methoxy-3-[[[[1-methyl-4-(5-nitrothien-2-yl)piperidin-4-yl]oxy]carbonyl]oxy]phenyl]-2-(3,4,5-trimethoxyphenyl)-(Z)-ethene 770746-93-5P, 1-[4-Methoxy-3-[[2-(1-methyl-2-nitroimidazol-5-yl)propan-2-yl]oxy]phenyl]-2-(3,4,5-trimethoxyphenyl)-(Z)-ethene 770746-94-6P, 6-[2-(5-Nitrothien-2-yl)propan-2-ylsulfanyl]-9H-purine 770746-95-7P 770746-96-8P, 1-[3-[1-Ethoxycarbonyl-1-(5-nitrothien-2-yl)ethoxy]-4-methoxyphenyl]-2-(3,4,5-trimethoxyphenyl)-(Z)-ethene 770746-97-9P, N-[2-[3-[1-Methyl-1-(5-nitrothiophen-2-yl)ethoxy]phenyl]ethyl]acetamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(bioreductive prodrug; preparation of bioreductively activated prodrugs of antiproliferative agents)

IT 80449-01-0, Topoisomerase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)

IT 372092-80-3, Protein kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)

IT 770746-98-0P, 4-Hydroxy-1-methyl-4-(5-nitrothien-2-yl)piperidine 770747-00-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bioreductively activated prodrugs of antiproliferative agents)

IT 9039-06-9, Cytochrome p450 reductase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of bioreductively activated prodrugs of antiproliferative agents)

IT 50-44-2, 6-Mercaptopurine 117048-59-6, Combretastatin A4
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of bioreductively activated prodrugs of antiproliferative agents)

IT 147-94-4, Cytarabine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of bioreductively activated prodrugs of antiproliferative agents)

IT 609-40-5, 2-Nitrothiophene 1445-73-4, 1-Methylpiperidin-4-one 6742-07-0 41765-97-3, N-Acetyl-3-(2-aminoethyl)phenol 60628-92-4, 5-(1-Hydroxy-1-methylethyl)-1-methyl-2-nitro-1H-imidazole 69240-39-7, 1-Methyl-1-(5-nitrothiophen-2-yl)ethanol 70951-50-7, 2-Bromo-2-(4-nitrophenyl)propane 226972-65-2, Ethyl 2-hydroxy-2-(5-nitrothien-2-yl)propanoate 770746-99-1, 2-Chloro-2-(5-nitrothien-2-

yl)propane
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bioreductively activated prodrugs of antiproliferative agents)

IT 51-21-8, 5-Fluorouracil 57-22-7, Vincristine 59-05-2, Methotrexate 154-42-7, 6-Thioguanine 320-67-2, 5-Azacytidine 518-28-5, Podophyllotoxin 865-21-4, Vinblastine 2353-33-5, Decitabine 4291-63-8, Cladribine 20830-81-3, Daunorubicin 21679-14-1, Fludarabine 23214-92-8, Doxorubicin 26599-17-7, 4'-Thioaracytidine 29767-20-2, Teniposide 33069-62-4, Paclitaxel 33419-42-0, Etoposide 52128-35-5, Trimetrexate 56420-45-2, Epirubicin 71486-22-1, Vinorelbine 86639-52-3, SN 38 95058-81-4, Gemcitabine 109971-63-3, Combretastatin A1 114977-28-5, Docetaxel 123318-82-1, Clofarabine 123948-87-8, Topotecan 130306-02-4, Tezacitabine 145918-75-8, Troxacitabine 154361-50-9, Capecitabine 183321-74-6, Erlotinib 184475-35-2, Gefitinib 443913-73-3, ZD6474
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(release of cytostatic agents under hypoxic conditions from bioreductively activated prodrugs)

IT 9037-80-3, Reductase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(use bioreductively activated stilbene prodrugs with a reductase, an antibody-reductase conjugate, a macromol.-reductase conjugate or DNA encoding a reductase gene for treating proliferative disorders)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L4 2 ANSWERS CAPLUS COPYRIGHT 2008 ACS on STN

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 26

TI Hypoxia-driven elimination of thiopurines from their nitrobenzyl prodrugs

ST nitrobenzyl thioguanine mercaptopurine prepn antitumor prodrug; radical half life nitrobenzyl thioguanine mercaptopurine gamma pulse radiolysis; rate release thioguanine mercaptopurine gamma pulse radiolysis; hypoxia selective release thioguanine nitrobenzyl prodrug A549 cell; antitumor prodrug nitrobenzyl thioguanine mercaptopurine selective release hypoxia; elimination driven hypoxia thiopurine nitrobenzyl prodrug; structure nitrobenzyl thioguanine mercaptopurine release antitumor agent hypoxia

IT Human
Lung, neoplasm
(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents and release of thioguanine in A549 human lung cancer cells under aerobic and hypoxic conditions)

IT Antitumor agents
Fragmentation reaction
Hypoxia
Prodrugs
(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon γ-pulse irradiation and of thioguanine in A549 cells under aerobic and hypoxic conditions)

IT 50-44-2, 6-Mercaptopurine 154-42-7, 6-Thioguanine
RL: FMU (Formation, unclassified); RCT (Reactant); FORM (Formation, nonpreparative); RACT (Reactant or reagent)
(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon γ-pulse irradiation and of thioguanine in A549 cells under aerobic

and hypoxic conditions)

IT 5069-64-7P *770746-91-3P* *948856-26-6P*
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon γ-pulse irradiation and of thioguanine in A549 cells under aerobic and hypoxic conditions)

IT 100-11-8, 4-Nitrobenzyl bromide 70951-50-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of S-nitrobenzyl thioguanines and an S-benzylmercaptopurine as hypoxia-selective prodrugs for antitumor agents, release of thiols upon γ-pulse irradiation and of thioguanine in A549 cells under aerobic and hypoxic conditions)

ALL ANSWERS HAVE BEEN SCANNED

=> d l4 1-2 ibib

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:746502 CAPLUS
DOCUMENT NUMBER: 147:350314
TITLE: Hypoxia-driven elimination of thiopurines from their nitrobenzyl prodrugs
AUTHOR(S): Thomson, Peter; Naylor, Matthew A.; Stratford, Michael R. L.; Lewis, Gemma; Hill, Sally; Patel, Kantilal B.; Wardman, Peter; Davis, Peter D.
CORPORATE SOURCE: University of Oxford, Gray Cancer Institute, Mount Vernon Hospital, Middlesex, HA6 2JR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(15), 4320-4322
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:350314
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:817879 CAPLUS
DOCUMENT NUMBER: 141:332039
TITLE: Preparation of bioreductively activated prodrugs of antiproliferative agents
INVENTOR(S): Davis, Peter David; Naylor, Matthew Alexander; Thomson, Peter; Everett, Steven Albert; Stratford, Michael Richard Lacey; Wardman, Peter
PATENT ASSIGNEE(S): Angiogene Pharmaceuticals Limited, UK; Gray Laboratory Cancer Research Trust
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

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     WO 2004085421        A2    20041007    WO 2004-GB1330          20040326
     WO 2004085421        A3    20050324
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
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     AU 2004224070        A1    20041007    AU 2004-224070          20040326
     CA 2519901           A1    20041007    CA 2004-2519901         20040326
     EP 1613612           A2    20060111    EP 2004-723650          20040326
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
     CN 1791591           A     20060621    CN 2004-80013946        20040326
     JP 2006523202        T     20061012    JP 2006-506035          20040326
     IN 2005CN02380       A     20070803    IN 2005-CN2380          20050923
     US 20070099871       A1    20070503    US 2005-550864          20051027
PRIORITY APPLN. INFO.:                       GB 2003-6907         A  20030326
                                             WO 2004-GB1330       W  20040326
OTHER SOURCE(S):         CASREACT 141:332039; MARPAT 141:332039


=> logoff hold
COST IN U.S. DOLLARS                                 SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                       3.86     188.89

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 08:32:10 ON 15 APR 2008

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LOGINID:ssptajs11623

PASSWORD:
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SESSION RESUMED IN FILE 'CAPLUS' AT 09:51:49 ON 15 APR 2008
FILE 'CAPLUS' ENTERED AT 09:51:49 ON 15 APR 2008
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COST IN U.S. DOLLARS                                 SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                       3.86     188.89

=> b marpat
COST IN U.S. DOLLARS                                 SINCE FILE      TOTAL
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FULL ESTIMATED COST                                       3.86     188.89
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FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008
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FILE CONTENT: 1961-PRESENT VOL 148 ISS 14 (20080411/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US  20080051413 28 FEB 2008
DE 102006039038 21 FEB 2008
EP      1889831 20 FEB 2008
JP   2008044933 28 FEB 2008
WO   2008028336 13 MAR 2008
GB      2440819 13 FEB 2008
FR      2904973 22 FEB 2008
RU      2317993 27 FEB 2008
CA      2593150 06 JAN 2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT
have increased from 100,000 to 200,000 for both on-line and batch
searches.  For more information on MARPAT search limits, type HELP
SLIMITS at an arrow prompt.

=> d his

     (FILE 'HOME' ENTERED AT 08:28:58 ON 15 APR 2008)

     FILE 'REGISTRY' ENTERED AT 08:29:24 ON 15 APR 2008
L1              STRUCTURE UPLOADED
L2            0 S L1 SSS SAM
L3            3 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 08:30:22 ON 15 APR 2008
L4            2 S L3

     FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008

=> s l3 sss sam
SAMPLE SEARCH INITIATED 09:52:13 FILE 'MARPAT'
SAMPLE SCREEN SEARCH COMPLETED -      187 TO ITERATE

100.0% PROCESSED      187 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:          2923 TO     4557
PROJECTED ANSWERS:                0 TO        0

L5            0 SEA SSS SAM L1

=> s l3 sss full
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FULL SEARCH INITIATED 09:52:19 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -     3552 TO ITERATE

 98.3% PROCESSED     3491 ITERATIONS                                21 ANSWERS

 98.3% PROCESSED     3491 ITERATIONS                                21 ANSWERS

100.0% PROCESSED     3552 ITERATIONS  (     1 INCOMPLETE)         22 ANSWERS
SEARCH TIME: 00.00.34

L6            22 SEA SSS FUL L1

=> s l6 and py<=2003
'2003' NOT A VALID FIELD CODE
              0 PY<=2003
L7            0 L6 AND PY<=2003

=> d l6 scan

L6   22 ANSWERS   MARPAT  COPYRIGHT 2008 ACS on STN
NCL  424725000
CC   1-11 (Pharmacology)
     Section cross-reference(s): 28, 63
TI   Therapeutic Gastrodia extracts
ST   Huntington's disease Gastrodia ext bishydroxybenzylsulfide
IT   Nervous system, disease
        (Huntington's chorea; therapeutic Gastrodia exts.)
IT   Drug delivery systems
     Gastrodia
     Gastrodia elata
     Natural products, pharmaceutical
        (therapeutic Gastrodia exts.)
IT   38204-93-2P
     RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     PREP (Preparation); USES (Uses)
        (therapeutic Gastrodia exts.)
IT   110505-75-4P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (therapeutic Gastrodia exts.)
IT   58-61-7, Adenosine, reactions   100-07-2, 4-Methoxybenzoyl chloride
     6258-60-2, (4-Methoxyphenyl)methanethiol
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (therapeutic Gastrodia exts.)
IT   23666-24-2P   54373-32-9P   56883-05-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (therapeutic Gastrodia exts.)
```
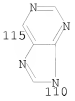

*MSTR 1A*




G1 = phenylene (opt. substd.)

G2 = 115-5 110-7




G4 = carbon chain <containing 1 or more C>
(opt. substd.)
G5 = bond
G6 = O
G10 = NO2
Patent location: disclosure
Note: and pharmaceutically acceptable salts and solvates
Note: substitution is restricted

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L6 22 ANSWERS MARPAT COPYRIGHT 2008 ACS on STN
CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 28, 63
TI Preparation of sulfonamido purine aniline derivatives as Janus kinase inhibitors
ST sulfonamido purine aniline prepn JAK2 kinase inhibitor; proliferative disease treatment sulfonamido purine aniline prepn
IT Antitumor agents
Cytotoxic agents
Human
Neoplasm
(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)
IT Sulfonamides
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)
IT Disease, animal
(proliferative; preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)
IT Pharmaceutical capsules
(soft capsules; preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)
IT 152478-57-4, JAK2 kinase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)
IT 934595-55-8P 942475-75-4P 942475-76-5P 942475-77-6P 942475-78-7P
942475-79-8P 942475-80-1P 942475-81-2P 942475-82-3P 942475-83-4P
942475-84-5P 942475-85-6P 942475-86-7P 942475-87-8P 942475-88-9P
942475-89-0P 942475-90-3P 942475-91-4P 942475-92-5P 942475-93-6P
942475-94-7P 942475-95-8P 942475-96-9P 942475-97-0P 942475-98-1P
942475-99-2P 942476-00-8P 942476-01-9P 942476-02-0P 942476-03-1P
942476-04-2P 942476-05-3P 942476-06-4P 942476-07-5P 942476-08-6P
942476-09-7P 942476-10-0P 942476-11-1P 942476-12-2P 942476-13-3P

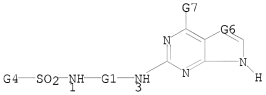
942476-14-4P 942476-15-5P 942476-16-6P 942476-17-7P 942476-18-8P
942476-19-9P 942476-20-2P 942476-21-3P 942476-22-4P 942476-23-5P
942476-24-6P 942476-25-7P 942476-26-8P 942476-27-9P 942476-28-0P
942476-29-1P 942476-30-4P 942476-31-5P 942476-32-6P 942476-33-7P
942476-34-8P 942476-35-9P 942476-36-0P 942476-37-1P 942476-38-2P
942476-39-3P 942476-40-6P 942476-41-7P 942476-42-8P 942476-43-9P
942476-44-0P 942476-45-1P 942476-46-2P 942476-47-3P 942476-48-4P
942476-49-5P 942476-50-8P 942476-51-9P 942476-52-0P 942476-53-1P
942476-54-2P 942476-55-3P 942476-56-4P 942476-57-5P 942476-58-6P
942476-59-7P 942476-60-0P 942476-61-1P 942476-62-2P 942476-63-3P
942476-64-4P 942476-65-5P 942476-66-6P 942476-67-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

IT 51-45-6, 2-(1H-Imidazol-4-yl)ethylamine, reactions 75-31-0, Isopropylamine, reactions 96-41-3, Cyclopentanol 99-09-2, 3-Nitroaniline 100-46-9, Benzylamine, reactions 100-49-2, Cyclohexylmethanol 100-51-6, Benzyl alcohol, reactions 108-00-9, 2-Dimethylaminoethylamine 109-01-3, N-Methylpiperazine 109-56-8, 2-Isopropylaminoethanol 109-86-4, 2-Methoxyethanol 110-91-8, Morpholine, reactions 121-05-1, 2-Diisopropylaminoethylamine 123-75-1, Pyrrolidine, reactions 124-68-5, 2-Amino-2-methyl-1-propanol 180-76-7, 1,4-Diazaspiro[5.5]undecane 280-57-9, 1,4-Diazabicyclo[2.2.2]octane 371-41-5, 4-Fluorophenol 453-20-3, 3-Hydroxytetrahydrofuran 616-30-8, 3-Amino-1,2 propanediol 693-05-0, 3-Methylaminopropionitrile 765-30-0, Cyclopropylamine 822-36-6, 4-Methylimidazole 932-30-9, 2-Aminomethylphenol 1003-03-8, Cyclopentylamine 1008-91-9, 1-(4-Pyridyl)piperazine 2026-48-4, (S)-2-Amino-3-methylbutan-1-ol 2038-03-1, 4-(2-Aminoethyl)morpholine 2516-34-9, Cyclobutylamine 2627-86-3, (S)-1-Phenylethylamine 2706-56-1, 2-(2-Aminoethyl)pyridine 2740-83-2, 3-Trifluoromethylbenzylamine 3014-80-0 3731-52-0, 3-Pyridylmethylamine 3789-59-1, (S)-1-Phenylpropylamine 3886-69-9, (R)-1-Phenylethylamine 4152-92-5 4276-09-9, (R)-2-Amino-3-methylbutan-1-ol 4403-70-7, 3-Aminomethylphenylamine 4747-21-1, Isopropylmethylamine 5071-96-5, 3-Methoxybenzylamine 5813-64-9, Neopentylamine 6530-09-2, 3-Aminoquinuclidine dihydrochloride 7409-18-9, 3-Nitrobenzylamine 10406-24-3, 3-Aminomethylbenzonitrile 19293-58-4, 4-Dimethylaminobenzylamine 19522-67-9, N-Isopropylethane-1,2-diamine 20419-68-5, 2,6-Dichloro-9-(tetrahydropyran-2-yl)-9H-purine 22526-47-2, (S)-1,2,2-Trimethylpropylamine 22990-77-8, 2-(Aminomethyl)piperidine 23356-96-9, S-2-(Hydroxymethyl)pyrrolidine 31519-52-5 31519-53-6 37045-73-1, N-(3-Aminophenyl)methanesulfonamide 40499-83-0, 3-Pyrrolidinol 53557-47-4 57678-46-3, 3-Dimethylaminobenzylamine 66228-31-7, (R)-1,2,2-Trimethylpropylamine 68327-04-8 73604-31-6, 3-Aminomethylphenol 86087-23-2, (S)-(+)-3-Hydroxytetrahydrofuran 86087-24-3, (R)-(-)-3-Hydroxytetrahydrofuran 87781-93-9 93071-75-1, 3-Trifluoromethoxybenzylamine 96783-68-5, N-(3-Aminomethylphenyl)acetamide 112245-09-7, (R)-2-Amino-3,3-dimethylbutan-1-ol 112245-13-3, (S)-2-Amino-3,3-dimethylbutan-1-ol 125593-25-1 137254-03-6, (1R,2S)-2-Aminocyclopentanol hydrochloride 138799-95-8 149917-33-9 158849-15-1 162679-02-9 167321-08-6 167321-10-0 321330-19-2, 2,1,3-Benzoxadiazole-5-methanamine 672325-37-0 749789-43-3 771573-22-9 849020-90-2, N-(3-Aminomethylphenyl)-N-methylacetamide hydrochloride 881407-20-1 886766-44-5 942476-78-0 942476-79-1 942476-80-4 942476-81-5 942579-86-4
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

IT 23384-29-4P 942476-68-8P 942476-69-9P 942476-70-2P 942476-71-3P 942476-72-4P 942476-73-5P 942476-74-6P 942476-75-7P 942476-76-8P 942476-77-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonamido purine aniline derivs. as JAK2 kinase inhibitors for the treatment of proliferative disease)

*MSTR 1*




G6 = N
G7 = 61


G9 = alkyl (opt. substd. by G11)
G10 = O
G11 = Ph (opt. substd. by G12)
G12 =
Patent location: claim 1
Note: additional substitution also claimed
Note: or salts

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> d his

(FILE 'HOME' ENTERED AT 08:28:58 ON 15 APR 2008)

FILE 'REGISTRY' ENTERED AT 08:29:24 ON 15 APR 2008
L1 STRUCTURE UPLOADED
L2 0 S L1 SSS SAM
L3 3 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 08:30:22 ON 15 APR 2008
L4 2 S L3

FILE 'MARPAT' ENTERED AT 09:52:04 ON 15 APR 2008
L5 0 S L3 SSS SAM
L6 22 S L3 SSS FULL
L7 0 S L6 AND PY<=2003

=> b caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 66.34 255.23

FILE 'CAPLUS' ENTERED AT 09:54:27 ON 15 APR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 15 Apr 2008 VOL 148 ISS 16
FILE LAST UPDATED: 14 Apr 2008 (20080414/ED)

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They are available for your review at:

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=> s l6
L8          22 L6

=> s l8 and py<=2003
      23980312 PY<=2003
L9           8 L8 AND PY<=2003

=> d l9 1-8 ibib

L9   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2002:814853  CAPLUS
DOCUMENT NUMBER:         137:325431
TITLE:                   Preparation of aminopyrimidines and -pyridines as
                         glycogen synthase kinase 3 inhibitors
INVENTOR(S):             Nuss, John M.; Harrison, Stephen D.; Ring, David B.;
                         Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.;
                         Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.;
                         Desai, Manjo; Levine, Barry H.
PATENT ASSIGNEE(S):      USA
SOURCE:                  U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S.
                         6,417,185.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  3
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ----------------    ----   --------    --------------------   --------
     US 20020156087       A1    20021024    US 2001-949035         20010906 <--
     US 7045519           B2    20060516
     US 6417185           B1    20020709    US 1999-336038         19990618 <--
     US 20030130289       A1    20030710    US 2002-309535         20021203 <--
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| | | | | | |
|---|---|---|---|---|---|
| US 7037918 | B2 | 20060502 | | | |
| US 20060089369 | A1 | 20060427 | US 2005-220400 | | 20050906 |
| PRIORITY APPLN. INFO.: | | | US 1998-89978P | P | 19980619 |
| | | | US 1999-336038 | A2 | 19990618 |
| | | | US 2000-230480P | P | 20000906 |
| | | | US 1999-336098 | A3 | 19990618 |
| | | | US 2001-949035 | A3 | 20010906 |

OTHER SOURCE(S): MARPAT 137:325431
REFERENCE COUNT: 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:185092 CAPLUS
DOCUMENT NUMBER: *136:247598*
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002020495 | A2 | 20020314 | WO 2001-US42081 | 20010906 <-- |
| WO 2002020495 | A3 | 20020620 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001095026 | A | 20020322 | AU 2001-95026 | 20010906 <-- |
| EP 1317433 | A2 | 20030611 | EP 2001-975734 | 20010906 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004514656 | T | 20040520 | JP 2002-525117 | 20010906 |
| CN 1592743 | A | 20050309 | CN 2001-818425 | 20010906 |
| IN 2003KN00277 | A | 20050311 | IN 2003-KN277 | 20030305 |
| KR 816769 | B1 | 20080326 | KR 2003-703327 | 20030306 |
| KR 2008013026 | A | 20080212 | KR 2008-701887 | 20080124 |

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|---|---|---|---|---|---|
| PRIORITY APPLN. INFO.: | | | US 2000-230480P | P | 20000906 |
| | | | WO 2001-US42081 | W | 20010906 |
| | | | KR 2003-703327 | A3 | 20030306 |

OTHER SOURCE(S): MARPAT 136:247598

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:763522 CAPLUS
DOCUMENT NUMBER: *135:283233*

TITLE: Pharmaceutical use of adenosine agonists for inducing bone marrow cell proliferation
INVENTOR(S): Fishman, Pnina; Cohn, Ilan
PATENT ASSIGNEE(S): Can-Fite Biopharma Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S. Ser. No. 700,744.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20010031742 | A1 | 20011018 | US 2001-782259 | 20010214 <-- |
| US 6790839 | B2 | 20040914 | | |
| WO 2000040251 | A1 | 20000713 | WO 2000-IL14 | 20000107 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6638914 | B1 | 20031028 | US 2001-700744 | 20010109 <-- |
| US 20020037871 | A1 | 20020328 | US 2001-871963 | 20010604 <-- |

PRIORITY APPLN. INFO.: IL 1999-127947 A 19990107
WO 2000-IL14 P 20000107
US 2001-700744 A2 20010109
US 2001-782259 A2 20010214

OTHER SOURCE(S): MARPAT 135:283233
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:734085 CAPLUS
DOCUMENT NUMBER: *126:19178*
TITLE: Nucleotide inotropic agents
INVENTOR(S): Liang, Bruce T.
PATENT ASSIGNEE(S): University of Pennsylvania, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9629345 | A1 | 19960926 | WO 1996-US3911 | 19960322 <-- |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5712258 | A | 19980127 | US 1995-409350 | 19950323 <-- |
| US 6255292 | B1 | 20010703 | US 1997-875050 | 19970923 <-- |
| US 20030186929 | A1 | 20031002 | US 2003-396200 | 20030325 <-- |
| US 7348315 | B2 | 20080325 | | |

PRIORITY APPLN. INFO.: US 1995-409350 A2 19950323
WO 1996-US3911 W 19960322

| | | | |
|---|---|---|---|
| | | US 1997-875050 | A2 19970923 |
| | | US 2000-641491 | B1 20000818 |

OTHER SOURCE(S): MARPAT 126:19178

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:315533 CAPLUS
DOCUMENT NUMBER: *122:106398*
TITLE: Preparation of deoxythionucleosides as virucides
INVENTOR(S): Koszalka, George Walter; Van Draanen, Nanine Agneta; Freeman, George Andrew; Short, Steven Andersen
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9401443 | A1 | 19940120 | WO 1993-GB1388 | 19930701 <-- |
| W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9345085 | A | 19940131 | AU 1993-45085 | 19930701 <-- |
| CN 1087089 | A | 19940525 | CN 1993-109525 | 19930701 <-- |
| ZA 9304742 | A | 19950103 | ZA 1993-4742 | 19930701 <-- |
| EP 648218 | A1 | 19950419 | EP 1993-914865 | 19930701 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 07508531 | T | 19950921 | JP 1993-503083 | 19930701 <-- |

| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | | GB 1992-14171 | A 19920702 |
| | | GB 1992-23180 | A 19921105 |
| | | WO 1993-GB1388 | A 19930701 |

OTHER SOURCE(S): CASREACT 122:106398; MARPAT 122:106398

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:247683 CAPLUS
DOCUMENT NUMBER: *114:247683*
TITLE: Preparation of N-heteroarylpurin-6-amines as analgesics and anticonvulsants
INVENTOR(S): Effland, Richard Charles; Klein, Joseph Thomas; Davis, Larry; Olson, Gordon Edward
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 402752 | A1 | 19901219 | EP 1990-110676 | 19900606 <-- |
| EP 402752 | B1 | 19950913 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 5017578 | A | 19910521 | US 1989-363837 | 19890609 <-- |
| ES 2078267 | T3 | 19951216 | ES 1990-110676 | 19900606 <-- |
| IL 94665 | A | 19940624 | IL 1990-94665 | 19900607 <-- |

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| CA 2018563 | A1 | 19901209 | CA 1990-2018563 | | 19900608 <-- |
| CA 2018563 | C | 20000919 | | | |
| NO 9002555 | A | 19901210 | NO 1990-2555 | | 19900608 <-- |
| AU 9056919 | A | 19901213 | AU 1990-56919 | | 19900608 <-- |
| AU 636351 | B2 | 19930429 | | | |
| CN 1047866 | A | 19901219 | CN 1990-104194 | | 19900608 <-- |
| CN 1029968 | B | 19951011 | | | |
| HU 54156 | A2 | 19910128 | HU 1990-3768 | | 19900608 <-- |
| HU 207320 | B | 19930329 | | | |
| JP 03024080 | A | 19910201 | JP 1990-148884 | | 19900608 <-- |
| JP 06102663 | B | 19941214 | | | |
| ZA 9004443 | A | 19910327 | ZA 1990-4443 | | 19900608 <-- |
| KR 199524 | B1 | 19990615 | KR 1990-8444 | | 19900609 <-- |
| US 5155098 | A | 19921013 | US 1991-696472 | | 19910506 <-- |
| KR 210179 | B1 | 19990715 | KR 1998-49161 | | 19981117 <-- |
| PRIORITY APPLN. INFO.: | | | US 1989-363837 | A | 19890609 |
| | | | KR 1990-8444 | A | 19900609 |
| OTHER SOURCE(S): | CASREACT 114:247683; MARPAT 114:247683 | | | | |

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:143930 CAPLUS
DOCUMENT NUMBER: *114:143930*
TITLE: Preparation of 5'N, 6-disubstituted adenosines from inosines
INVENTOR(S): Bridges, Alexander J.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 7 pp. Cont. of U.S. Ser. No. 34,125, abandoned. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 4962194 | A | 19901009 | US 1988-260202 | | 19881019 <-- |
| PRIORITY APPLN. INFO.: | | | US 1987-34125 | B1 | 19870402 |
| OTHER SOURCE(S): | CASREACT 114:143930; MARPAT 114:143930 | | | | |

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:423943 CAPLUS
DOCUMENT NUMBER: *113:23943*
TITLE: 6-Mercaptopurine derivatives, their preparation and their use against retrovirus infections
INVENTOR(S): Klosa, Josef; Kroeger, Hans Prof; Meichsner, Christoph; Winkler, Irvin; Helsberg, Matthias; Schrinner, Elmar
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 15 pp. CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 350742 | A1 | 19900117 | EP 1989-112061 | 19890701 <-- |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |

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     DE 3823345            A1     19900125    DE 1988-3823345         19880709 <--
     DK 8903383            A      19900110    DK 1989-3383            19890707 <--
     AU 8937933            A      19900111    AU 1989-37933           19890707 <--
     JP 02067283           A      19900307    JP 1989-174317          19890707 <--
     ZA 8905176            A      19900328    ZA 1989-5176            19890707 <--
PRIORITY APPLN. INFO.:                         DE 1988-3823345     A   19880709
OTHER SOURCE(S):          CASREACT 113:23943; MARPAT 113:23943


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L3             3 S L1 SSS FULL

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L6            22 S L3 SSS FULL
L7             0 S L6 AND PY<=2003

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L9             8 S L8 AND PY<=2003

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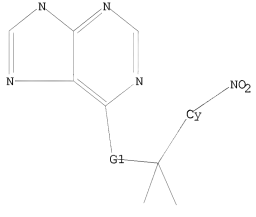


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Connecting via Winsock to STN



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COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

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L9           8 S L8 AND PY<=2003

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L9   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2002:814853  CAPLUS
DOCUMENT NUMBER:         137:325431
TITLE:                   Preparation of aminopyrimidines and -pyridines as
                         glycogen synthase kinase 3 inhibitors
INVENTOR(S):             Nuss, John M.; Harrison, Stephen D.; Ring, David B.;
                         Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.;
                         Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.;
                         Desai, Manjo; Levine, Barry H.
PATENT ASSIGNEE(S):      USA
SOURCE:                  U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S.
                         6,417,185.
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CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20020156087 | A1 | 20021024 | US 2001-949035 | 20010906 <-- |
| US 7045519 | B2 | 20060516 | | |
| US 6417185 | B1 | 20020709 | US 1999-336038 | 19990618 <-- |
| US 20030130289 | A1 | 20030710 | US 2002-309535 | 20021203 <-- |
| US 7037918 | B2 | 20060502 | | |
| US 20060089369 | A1 | 20060427 | US 2005-220400 | 20050906 |
| PRIORITY APPLN. INFO.: | | | US 1998-89978P | P 19980619 |
| | | | US 1999-336038 | A2 19990618 |
| | | | US 2000-230480P | P 20000906 |
| | | | US 1999-336098 | A3 19990618 |
| | | | US 2001-949035 | A3 20010906 |
| OTHER SOURCE(S): | MARPAT 137:325431 | | | |

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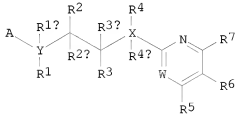


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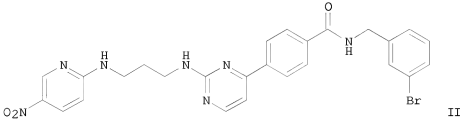


II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free

assay with IC50 values of < 1 μM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

REFERENCE COUNT: 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:185092 CAPLUS
DOCUMENT NUMBER: *136:247598*
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002020495 | A2 | 20020314 | WO 2001-US42081 | 20010906 <-- |
| WO 2002020495 | A3 | 20020620 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001095026 | A | 20020322 | AU 2001-95026 | 20010906 <-- |
| EP 1317433 | A2 | 20030611 | EP 2001-975734 | 20010906 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004514656 | T | 20040520 | JP 2002-525117 | 20010906 |
| CN 1592743 | A | 20050309 | CN 2001-818425 | 20010906 |
| IN 2003KN00277 | A | 20050311 | IN 2003-KN277 | 20030305 |
| KR 816769 | B1 | 20080326 | KR 2003-703327 | 20030306 |
| KR 2008013026 | A | 20080212 | KR 2008-701887 | 20080124 |

PRIORITY APPLN. INFO.: US 2000-230480P P 20000906
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OTHER SOURCE(S): MARPAT 136:247598
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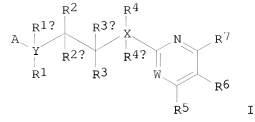

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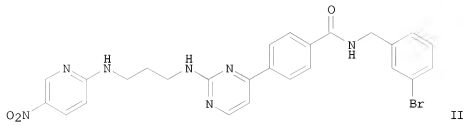

II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free assay with IC50 values of < 1 μM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2001:763522 CAPLUS |
| DOCUMENT NUMBER: | *135:283233* |
| TITLE: | Pharmaceutical use of adenosine agonists for inducing bone marrow cell proliferation |
| INVENTOR(S): | Fishman, Pnina; Cohn, Ilan |
| PATENT ASSIGNEE(S): | Can-Fite Biopharma Ltd., Israel |
| SOURCE: | U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S. Ser. No. 700,744.<br>CODEN: USXXCO |
| DOCUMENT TYPE: | Patent |

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20010031742 | A1 | 20011018 | US 2001-782259 | 20010214 <-- |
| US 6790839 | B2 | 20040914 | | |
| WO 2000040251 | A1 | 20000713 | WO 2000-IL14 | 20000107 <-- |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6638914 | B1 | 20031028 | US 2001-700744 | 20010109 <-- |
| US 20020037871 | A1 | 20020328 | US 2001-871963 | 20010604 <-- |

PRIORITY APPLN. INFO.:
IL 1999-127947 A 19990107
WO 2000-IL14 P 20000107
US 2001-700744 A2 20010109
US 2001-782259 A2 20010214

OTHER SOURCE(S): MARPAT 135:283233

AB A method is provided for inducing proliferation of bone marrow cells in a subject, compromising administering an effective amount of an adenosine A1 receptor agonist. Also provided is a method for preventing reduction in level of leukocytes in a subject as a result of a treatment comprising administering to the individual an effective amount of an adenosine A1 receptor agonist. In addition, the invention provides a method of treatment of an individual comprising administering to the subject a therapeutic drug in combination with an adenosine A1 receptor agonist.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:734085 CAPLUS
DOCUMENT NUMBER: *126:19178*
TITLE: Nucleotide inotropic agents
INVENTOR(S): Liang, Bruce T.
PATENT ASSIGNEE(S): University of Pennsylvania, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9629345 | A1 | 19960926 | WO 1996-US3911 | 19960322 <-- |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5712258 | A | 19980127 | US 1995-409350 | 19950323 <-- |
| US 6255292 | B1 | 20010703 | US 1997-875050 | 19970923 <-- |
| US 20030186929 | A1 | 20031002 | US 2003-396200 | 20030325 <-- |
| US 7348315 | B2 | 20080325 | | |

PRIORITY APPLN. INFO.:
US 1995-409350 A2 19950323
WO 1996-US3911 W 19960322

US 1997-875050 A2 19970923
US 2000-641491 B1 20000818

OTHER SOURCE(S): MARPAT 126:19178

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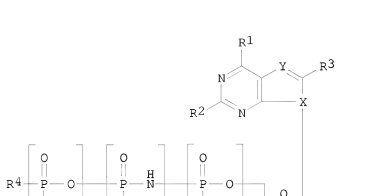

I

AB Nucleotides I [R1, R2 = halo, -R6(R7)pR8; R3 = H, halo, -R6(R7)pR8; R4 = OH, SH, NH2; R5 = OH, acetamido; R6 = NH, S; R7 = C1-C10 alkylene; R8 = H, NH2, CN, cycloalkyl having 3 to about 10 carbon atoms, or aryl having 3 to about 20 carbon atoms; X, Y = N , CH; n, q, p = 0, 1; m = 1, 2] or their pharmaceutically acceptable salts modulate cardiac muscle contractility and possess vasodilator activity. Receptors that bind the compds. are also provided.

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:315533 CAPLUS
DOCUMENT NUMBER: *122:106398*
TITLE: Preparation of deoxythionucleosides as virucides
INVENTOR(S): Koszalka, George Walter; Van Draanen, Nanine Agneta; Freeman, George Andrew; Short, Steven Andersen
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 9401443 | A1 | 19940120 | WO 1993-GB1388 | 19930701 <-- |
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| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9345085 | A | 19940131 | AU 1993-45085 | 19930701 <-- |
| CN 1087089 | A | 19940525 | CN 1993-109525 | 19930701 <-- |
| ZA 9304742 | A | 19950103 | ZA 1993-4742 | 19930701 <-- |
| EP 648218 | A1 | 19950419 | EP 1993-914865 | 19930701 <-- |

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JP 07508531 T 19950921 JP 1993-503083 19930701 <--
PRIORITY APPLN. INFO.: GB 1992-14171 A 19920702
GB 1992-23180 A 19921105
WO 1993-GB1388 A 19930701
OTHER SOURCE(S): CASREACT 122:106398; MARPAT 122:106398
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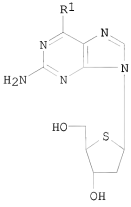

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AB Title compds. [I; R1 = halo, NR2R3, SOnR4, SOmOR4a, OR5, alkyl, alkenyl, alkynyl; R2, R3 = H, alkyl, cycloalkyl, alkenyl, (substituted) Ph, phenylalkyl; R2R3N = 3-7 membered heterocyclyl; m, n = 0-4; R4, R4a, R5 = alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, (substituted) Ph, phenylalkyl], were prepared as virucides (no data). Thus, 2-amino-6-methoxypurine was kept with α,β-2'-deoxy-4'-thiouridine and trans-N-deoxyribosylase in pH 6.0 citrate buffer at 50° to give I (R1 = OMe). Generic I formulations are given. Use of I against infection by herpes virus, retrovirus, hepatitis virus, coxsackie virus, and hepatitis C virus is claimed.

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:247683 CAPLUS
DOCUMENT NUMBER: *114:247683*
TITLE: Preparation of N-heteroarylpurin-6-amines as analgesics and anticonvulsants
INVENTOR(S): Effland, Richard Charles; Klein, Joseph Thomas; Davis, Larry; Olson, Gordon Edward
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 402752 | A1 | 19901219 | EP 1990-110676 | 19900606 <-- |
| EP 402752 | B1 | 19950913 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 5017578 | A | 19910521 | US 1989-363837 | 19890609 <-- |

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|---|---|---|---|---|---|
| ES 2078267 | T3 | 19951216 | ES 1990-110676 | | 19900606 <-- |
| IL 94665 | A | 19940624 | IL 1990-94665 | | 19900607 <-- |
| CA 2018563 | A1 | 19901209 | CA 1990-2018563 | | 19900608 <-- |
| CA 2018563 | C | 20000919 | | | |
| NO 9002555 | A | 19901210 | NO 1990-2555 | | 19900608 <-- |
| AU 9056919 | A | 19901213 | AU 1990-56919 | | 19900608 <-- |
| AU 636351 | B2 | 19930429 | | | |
| CN 1047866 | A | 19901219 | CN 1990-104194 | | 19900608 <-- |
| CN 1029968 | B | 19951011 | | | |
| HU 54156 | A2 | 19910128 | HU 1990-3768 | | 19900608 <-- |
| HU 207320 | B | 19930329 | | | |
| JP 03024080 | A | 19910201 | JP 1990-148884 | | 19900608 <-- |
| JP 06102663 | B | 19941214 | | | |
| ZA 9004443 | A | 19910327 | ZA 1990-4443 | | 19900608 <-- |
| KR 199524 | B1 | 19990615 | KR 1990-8444 | | 19900609 <-- |
| US 5155098 | A | 19921013 | US 1991-696472 | | 19910506 <-- |
| KR 210179 | B1 | 19990715 | KR 1998-49161 | | 19981117 <-- |
| PRIORITY APPLN. INFO.: | | | US 1989-363837 | A | 19890609 |
| | | | KR 1990-8444 | A | 19900609 |

OTHER SOURCE(S): CASREACT 114:247683; MARPAT 114:247683

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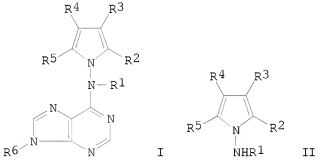

I II

AB The title compds. [I; R1 = H, alkyl, aralkyl; R2-R5 = H, alkyl, or R2R3 = arylene; R4R5 = arylene; R6 = H, alkyl, aryl, aralkyl, (substituted) 1H-pyrrol-1-yl, (substituted) ribofuranosyl] and their pharmaceutically acceptable salts were prepared by, e.g., reaction of QR6 [Q = 6-halo-9-purinyl] with pyrroleamine II. 6-Chloropurine was heated with II (R1 = R2 = R4 = R5 = H, R3 = Me) (preparation given) in Me2CHOH containing ether-HCl at 80° for 4 h to give 28% I [R1 = R2 = R4 = R5 = R6 = H, R3 = Me), which at 20.0 mg/kg s.c. inhibited 37% 2-phenyl-1,4-benzoquinone-induced writhing in mice.

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

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|---|---|
| ACCESSION NUMBER: | 1991:143930 CAPLUS |
| DOCUMENT NUMBER: | *114:143930* |
| TITLE: | Preparation of 5'N, 6-disubstituted adenosines from inosines |
| INVENTOR(S): | Bridges, Alexander J. |
| PATENT ASSIGNEE(S): | Warner-Lambert Co., USA |
| SOURCE: | U.S., 7 pp. Cont. of U.S. Ser. No. 34,125, abandoned. CODEN: USXXAM |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 1 |

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4962194 | A | 19901009 | US 1988-260202 | 19881019 <-- |
| PRIORITY APPLN. INFO.: | | | US 1987-34125 B1 | 19870402 |
| OTHER SOURCE(S): | CASREACT 114:143930; MARPAT 114:143930 | | | |

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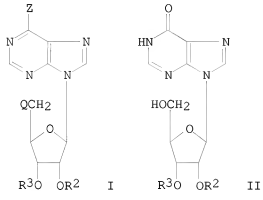


AB The title compds. [I; R2, R3 = H, alkyl, alkanoyl, Bz; or R2R3 = alkylidene; Z = RS(O)q, (un)substituted NH2; R = alkyl, (hetero)aryl, aralkyl; q = 0, 2; Q = H, halo, cyano, N3, NH2, alkoxy, acyloxy, thioalkyl, H2NNH, HONH, phosphino, dialkyl or diarylcuprato] are prepared by (1) bromination of inosine derivs. (II; R2, R3 = as defined above, excluding R2 = R3 = H) with Ar3PBr2 or (ArO)3PBr2 (Ar = aryl) followed by reaction with RSH (R = as defined above) to give I (Z = RS, Q = Br), (2) oxidation of the latter to I [Z = RS(O)q Q = Br], (3) amination of the latter with amines to give I [Z = (un)substituted NH2, Q = Br], and (4) treatment of the latter with a nucleophile. Some I are useful as neuroleptics, analgesics, cardiotonics, antihypertensives, antilipolytics, antihyperlipemics, antiinflammatory agents, antithrombotic or antiembolic agents (no data). Thus, bromination of 2',3'-isopropylideneinosine with Br/Ph3P in pyridine followed by reaction with PhSH gave I (Z = PhS, Q = Br, R1R3 = CMe2) which was oxidized with m-ClC6H4C(O)OOH in CHCl3 in the presence of NaHCO3 to I (Z = PhSO2; R, R2, R3 = as defined above). Amination of the latter with cyclopentylamine in the presence of Et3N in CHCl3 and thiolation of the product I (Z = cyclopentylamino; Q, R2, R3 = as defined above) with NaSMe in Me2SO followed by hydrolysis gave I (Z = cyclopentylamino, Q = MeS, R2 = R3 = H).

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

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|---|---|
| ACCESSION NUMBER: | 1990:423943 CAPLUS |
| DOCUMENT NUMBER: | *113:23943* |
| TITLE: | 6-Mercaptopurine derivatives, their preparation and their use against retrovirus infections |
| INVENTOR(S): | Klosa, Josef; Kroeger, Hans Prof; Meichsner, Christoph; Winkler, Irvin; Helsberg, Matthias; Schrinner, Elmar |
| PATENT ASSIGNEE(S): | Hoechst A.-G., Germany |
| SOURCE: | Eur. Pat. Appl., 15 pp. |

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|---|---|---|---|
| EP 350742 | A1 | 19900117 | EP 1989-112061 | 19890701 | <-- |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | | |
| DE 3823345 | A1 | 19900125 | DE 1988-3823345 | 19880709 | <-- |
| DK 8903383 | A | 19900110 | DK 1989-3383 | 19890707 | <-- |
| AU 8937933 | A | 19900111 | AU 1989-37933 | 19890707 | <-- |
| JP 02067283 | A | 19900307 | JP 1989-174317 | 19890707 | <-- |
| ZA 8905176 | A | 19900328 | ZA 1989-5176 | 19890707 | <-- |

PRIORITY APPLN. INFO.: DE 1988-3823345 A 19880709
OTHER SOURCE(S): CASREACT 113:23943; MARPAT 113:23943
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AB The title compds. [I; X = C1-6 (unsatd.) (substituted) alkyl, C4-6 cycloalkyl, O- or NH-containing heterocycyl], were prepared Thus, 6-mercaptopurine and then H2C:CHCH2Br were added to KOH in H2O/EtOH to give I (X = CH2CH:CH2) (II). II at 1.0 mg/mL in drinking water reduced the increase in spleen weight of mice infected with Friend leukemia virus from 7.8 (untreated controls) to 1.51%.

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 36.04 | 291.27 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -6.40 | -6.40 |

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